

## Refine Search

### Search Results -

Terms	Documents
(polymerizable adj1 lipid) same (saturated)	44

**Database:** US Pre-Grant Publication Full-Text Database  
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**US OCR Full-Text Database**  
EPO Abstracts Database  
JPO Abstracts Database  
Derwent World Patents Index  
IBM Technical Disclosure Bulletins

**Search:** L8

### Search History

**DATE:** Monday, February 07, 2005 [Printable Copy](#) [Create Case](#)

<u>Set Name</u>	<u>Query</u>	<u>Hit Count</u>	<u>Set Name</u>
side by side			result set

*DB=USPT,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR*

L8	(polymerizable adj1 lipid) same (saturated)	44	<u>L8</u>
L7	\$sorb\$pc	1	<u>L7</u>
L6	L4 and sorb\$	60	<u>L6</u>
L5	sorb\$	134567	<u>L5</u>
L4	L3 and DPPC	187	<u>L4</u>
L3	L2 and 424/450.ccls.	932	<u>L3</u>
L2	\$sorb\$	1066169	<u>L2</u>
L1	\$sorbp\$c	0	<u>L1</u>

END OF SEARCH HISTORY

[First Hit](#) [Fwd Refs](#)[Previous Doc](#) [Next Doc](#) [Go to Doc#](#) [Generate Collection](#) [Print](#)

L8: Entry 30 of 44

File: USPT

Nov 22, 1994

DOCUMENT-IDENTIFIER: US 5366881 A

TITLE: Polymerizable lipids for preparing vesicles that controllably release an encapsulant

Detailed Description Text (6):

In another embodiment of this invention, polymerizable lipids of this invention, as defined above, are mixed with non-polymerizable lipids. The non-polymerizable lipids are selected from any non-polymerizable lipids, be they saturated phosphatidylcholines or other saturated lipids, although non-polymerizable phosphatidylcholines are preferred. In the mixtures, up to 90 mole percent, preferably up to 80 mole percent of the non-polymerizable lipid can be used. Examples of non-polymerizable lipids include cationic ammonium surfactants where the two alkyl chains contain 16 to 20 carbon atoms, phosphate surfactants, and saturated phospholipids or saturated phosphatidylcholines which contain the glyceryl backbone, two alkyl chains and a phosphate headgroup. Phosphate surfactants are not phospholipids because they do not contain the glyceryl backbone.

## CLAIMS:

4. The mixture of claim 3 wherein said non-polymerizable lipid is selected from the group consisting of phosphatidylserines, phosphatidylethanolamines, saturated phosphatidylcholines containing at least one diazobenzene moiety, and mixtures thereof.

6. Polymerized vesicles comprising polymerizable lipid or a mixture of polymerizable lipid and up to 90 mole percent of non-polymerizable lipid, said polymerizable lipid having the following structure: ##STR8## where n is 1-10 and m is 15-n; each R is individually selected from the group consisting of:

--O--CH<sub>2</sub> --CH.dbd.CH--CH.dbd.CH--CH<sub>2</sub>,

--OCH<sub>2</sub> CH.dbd.CH<sub>2</sub>,

--OC(.dbd.O)--C(CH<sub>2</sub>).dbd.CH<sub>2</sub>

and

--OC(.dbd.O)--CH.dbd.CH--CH.dbd.CH--CH<sub>2</sub> ;

and said non-polymerizable lipid being selected from the group consisting of ammonium surfactants, phosphate surfactants, and saturated phosphatidylcholines.

12. A method of encapsulating an encapsulant in vesicles and releasing the encapsulant from the vesicles comprising the steps of:

forming vesicles from at least one lipid selected from the group consisting of polymerizable lipid and mixtures of polymerizable lipid and up to 90 mole percent of non-polymerizable lipid, based on said polymerizable lipid and said non-polymerizable lipid, said polymerizable lipid having the following structure:

##STR9## where n is 1-10 and m is 15-n; each R is individually selected from the group consisting of:

--O--CH.sub.2 --CH.dbd.CH--CH.dbd.CH--CH.sub.3,  
--OCH.sub.2 CH.dbd.CH.sub.2,  
--OC(.dbd.O)--C(CH.sub.3).dbd.CH.sub.2

and

--OC(.dbd.O)--CH.dbd.CH--CH.dbd.CH--CH.sub.3 ;

said non-polymerizable lipid being selected from the group consisting of ammonium surfactants, phosphate surfactants, and saturated phosphatidylcholines;

encapsulating at least one encapsulant in the vesicles in an amount of about 20-200 by weight, based on the weight of said vesicles; and

subjecting the vesicles to an environmental change which causes the vesicles to release the encapsulant.

13. The method of claim 12 wherein a portion of the encapsulant is disposed on an outside surface of the vesicles and wherein the non-polymerizable lipid is selected from the group consisting of phosphatidylserines, phosphatidylethanolamines, saturated phosphatidylcholines containing at least one diazobenzene moiety, and mixtures thereof.

[Previous Doc](#)

[Next Doc](#)

[Go to Doc#](#)